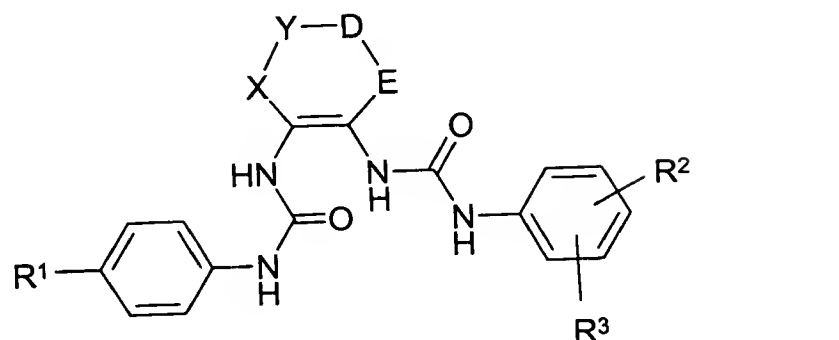


Patent Claims

1. Compounds of the formula I

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in which

X-Y-D-E

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denotes CH=CH-CH=CH, N=CH-CH=CH,
 CH=N-CH=CH, CH=CH-N=CH, CH=CH-CH=N,
 N=CH-N=CH, CH=N-CH=N, N⁺(-O⁻)=CH-CH=CH,
 CH=N⁺(-O⁻)-CH=CH, CH=CH-N⁺(-O⁻)=CH,
 CH=CH-CH=N⁺(-O⁻), NH-CO-CH=CH, CH=CH-CO-NH,
 CO-NH-CH=CH, CH=CH-NH-CO,

25

in which the H atoms of the -CH- groups may be substituted by Hal, A, OH, OA, A-COO-, Ph-(CH₂)_n-COO-, cycloalkyl-(CH₂)_n-COO-, A-CONH-, A-CONA-, Ph-CONA-, N₃, NH₂, NO₂, CN, COOH, COOA, CONH₂, CONHA, CON(A)₂, O-allyl, O-propargyl and/or O-benzyl,

30

Ph

denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by A, OA, OH or Hal,

R¹

denotes Hal, -C≡C-H, -C≡C-A, OH or OA,

R²

denotes H, Hal or A,

R³

35

denotes 2-oxo-1*H*-pyridin-1-yl, 2-oxo-1*H*-pyrazin-1-yl, 2-oxopiperidin-1-yl, 2-oxopyrrolidin-1-yl, 2-oxo-1,3-oxazinan-3-yl, 3-oxomorpholin-4-yl, 2-oxotetrahydro-

5 pyrimidin-1-yl, 3-oxo-2*H*-pyridazin-2-yl, 4-oxo-1*H*-pyridin-1-yl, 2-oxoimidazolidin-1-yl, 2,6-dioxopiperidin-1-yl, 2-oxopiperazin-1-yl, 2,6-dioxopiperazin-1-yl, 2,5-dioxopyrrolidin-1-yl, 2-oxo-1,3-oxazolidin-3-yl, 2-caprolactam-1-yl (= 2-oxoazepan-1-yl), 2-azabicyclo[2.2.2]-octan-3-on-2-yl, 5,6-dihydro-1*H*-pyrimidin-2-oxo-1-yl, 4*H*-1,4-oxazin-4-yl, 2-iminopiperidin-1-yl, 2-iminopyrrolidin-1-yl, 3-iminomorpholin-4-yl, 2-iminoimidazolidin-1-yl or 10 2-imino-1*H*-pyrazin-1-yl, each of which is unsubstituted or mono- or disubstituted by A, OH and/or OA,

A denotes unbranched, branched or cyclic alkyl having 1-10 C atoms, in which, in addition, 1-7 H atoms may be replaced by F and/or chlorine,

15 Hal denotes F, Cl, Br or I,

n denotes 0, 1, 2 or 3,

and pharmaceutically usable derivatives, solvates, salts and stereoisomers thereof, including mixtures thereof in all ratios.

20

2. Compounds according to Claim 1 in which

R¹ denotes Hal or -C≡C-H,

25 and pharmaceutically usable derivatives, solvates, salts and stereoisomers thereof, including mixtures thereof in all ratios.

3. Compounds according to Claim 1 or 2 in which

R¹ denotes Hal,

30 and pharmaceutically usable derivatives, solvates, salts and stereoisomers thereof, including mixtures thereof in all ratios.

4. Compounds according to one or more of Claims 1-3 in which

X-Y-D-E denotes CH=CH-CH=CH, N=CH-CH=CH,

35 CH=N-CH=CH, CH=CH-N=CH, CH=CH-CH=N,

$N=CH-N=CH$, $CH=N-CH=N$, $N^+(-O^-)=CH-CH=CH$,
 $CH=N^+(-O^-)-CH=CH$, $CH=CH-N^+(-O^-)=CH$,
 $CH=CH-CH=N^+(-O^-)$, $NH-CO-CH=CH$, $CH=CH-CO-NH$,
 $CO-NH-CH=CH$ or $CH=CH-NH-CO$,

5 in which the H atoms of the -CH- groups may be substituted by Hal, A, OH and/or OA,

and pharmaceutically usable derivatives, solvates, salts and stereoisomers thereof, including mixtures thereof in all ratios.

10

5. Compounds according to one or more of Claims 1-4 in which

X-Y-D-E denote $CH=CH-CH=CH$, $N=CH-CH=CH$,
 $CH=N-CH=CH$, $CH=CH-N=CH$, $CH=CH-CH=N$,
 $N=CH-N=CH$, $CH=N-CH=N$, $N^+(-O^-)=CH-CH=CH$,
 15 $CH=N^+(-O^-)-CH=CH$, $CH=CH-N^+(-O^-)=CH$ or
 $CH=CH-CH=N^+(-O^-)$,

in which the H atoms of the -CH- groups may be substituted by Hal, OH and/or OA,

20

and pharmaceutically usable derivatives, solvates, salts and stereoisomers thereof, including mixtures thereof in all ratios.

25

6. Compounds according to one or more of Claims 1-5 in which

R^3 denotes 2-oxo-1*H*-pyridin-1-yl, 2-oxo-1*H*-pyrazin-1-yl,
 2-oxopiperidin-1-yl, 2-oxopyrrolidin-1-yl, 2-oxo-1,3-oxazinan-3-yl,
 3-oxomorpholin-4-yl, 2-oxotetrahydropyrimidin-1-yl,
 3-oxo-2*H*-pyridazin-2-yl, 4-oxo-1*H*-pyridin-1-yl,
 2-oxoimidazolidin-1-yl or 2-oxopiperazin-1-yl,

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and pharmaceutically usable derivatives, solvates, salts and stereoisomers thereof, including mixtures thereof in all ratios.

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7. Compounds according to one or more of Claims 1-6 in which

R^3 denotes 2-oxo-1*H*-pyridin-1-yl or 3-oxomorpholin-4-yl,

and pharmaceutically usable derivatives, solvates, salts and stereoisomers thereof, including mixtures thereof in all ratios.

- 5 8. Compounds according to one or more of Claims 1-7 in which
 X-Y-D-E denotes CH=CH-CH=CH, N=CH-CH=CH,
 CH=N-CH=CH, CH=CH-N=CH, CH=CH-CH=N,
 N=CH-N=CH, CH=N-CH=N, N⁺(-O⁻)=CH-CH=CH,
 CH=N⁺(-O⁻)-CH=CH, CH=CH-N⁺(-O⁻)=CH or
 10 CH=CH-CH=N⁺(-O⁻),
 in which the H atoms of the -CH- groups may be substituted by Hal, OH and/or OA,
 R¹ denotes Hal,
 R² denotes H, Hal or A,
 15 R³ denotes 2-oxo-1*H*-pyridin-1-yl, 2-oxo-1*H*-pyrazin-1-yl,
 2-oxopiperidin-1-yl, 2-oxopyrrolidin-1-yl, 2-oxo-1,3-oxazinan-3-yl, 3-oxomorpholin-4-yl, 2-oxotetrahydropyrimidin-1-yl, 3-oxo-2*H*-pyridazin-2-yl, 4-oxo-1*H*-pyridin-1-yl, 2-oxoimidazolidin-1-yl or 2-oxopiperazin-1-yl,
 20 A denotes unbranched, branched or cyclic alkyl having 1-10 C atoms, in which, in addition, 1-7 H atoms may be replaced by F and/or chlorine,
 25 Hal denotes F, Cl, Br or I,
 and pharmaceutically usable derivatives, solvates, salts and stereoisomers thereof, including mixtures thereof in all ratios.
- 30 9. Compounds according to Claim 1 selected from the group
 1-(4-chlorophenyl)-3-(4-hydroxy-2-{3-[3-methyl-4-(3-oxomorpholin-4-yl)phenyl]ureido}phenyl)urea,
 1-(4-chlorophenyl)-3-(4-{3-[3-methyl-4-(3-oxomorpholin-4-yl)-phenyl]ureido}pyridin-3-yl)urea,
 35 1-(4-chlorophenyl)-3-(4-{3-[3-methyl-4-(3-oxomorpholin-4-yl)-phenyl]ureido}-1-oxypyridin-3-yl)urea,

1-(2-chloro-4-{3-[3-methyl-4-(3-oxomorpholin-4-yl)phenyl]-
ureido}pyridin-3-yl)-3-(4-chlorophenyl)urea,

1-(2-chloro-4-{3-[3-chloro-4-(3-oxomorpholin-4-yl)phenyl]-
ureido}pyridin-3-yl)-3-(4-chlorophenyl)urea,

5 1-(4-chlorophenyl)-3-(4-hydroxy-2-{3-[4-(2-oxo-2*H*-pyridin-1-
yl)phenyl]ureido}phenyl)urea,

1-(4-chlorophenyl)-3-(3-{3-[3-methyl-4-(3-oxomorpholin-4-yl)-
phenyl]ureido}pyridin-2-yl)urea,

10 1-(4-chlorophenyl)-3-(3-{3-[3-methyl-4-(3-oxomorpholin-4-yl)-
phenyl]ureido}-1-oxypyridin-4-yl)urea,

1-(4-chlorophenyl)-3-(5-hydroxy-2-{3-[3-methyl-4-(3-oxomor-
pholin-4-yl)phenyl]ureido}phenyl)urea,

15 1-(4-chlorophenyl)-3-(4-hydroxy-2-{3-[2-fluoro-4-(3-oxomor-
pholin-4-yl)phenyl]ureido}phenyl)urea,

1-(4-chlorophenyl)-3-(4-hydroxy-2-{3-[2-methyl-4-(3-oxomor-
pholin-4-yl)phenyl]ureido}phenyl)urea,

20 1-(4-chlorophenyl)-3-(3-{3-[2-fluoro-4-(3-oxomorpholin-4-yl)-
phenyl]ureido}-1-oxypyridin-4-yl)urea,

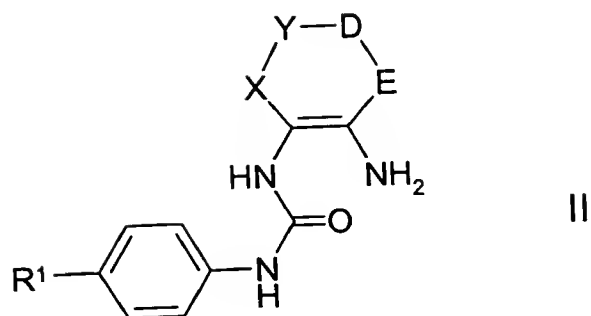
1-(4-chlorophenyl)-3-(3-{3-[2-methyl-4-(3-oxomorpholin-4-yl)-
phenyl]ureido}-1-oxypyridin-4-yl)urea,

25 and pharmaceutically usable derivatives, solvates, salts and stereo-
isomers thereof, including mixtures thereof in all ratios.

10. Process for the preparation of compounds of the formula I according
to Claims 1-9 and pharmaceutically usable derivatives, solvates, salts
30 and stereoisomers thereof, characterised in that

a) a compound of the formula II

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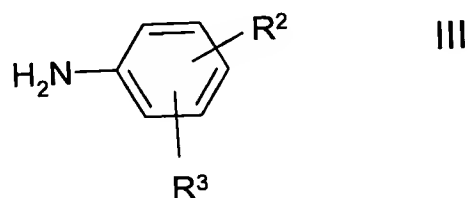


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in which X-Y-D-E and R¹ have the meanings indicated in Claim 1,
is reacted with a chloroformate derivative to give an intermediate
carbamate derivative,

15

which is subsequently reacted with a compound of the formula III



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in which

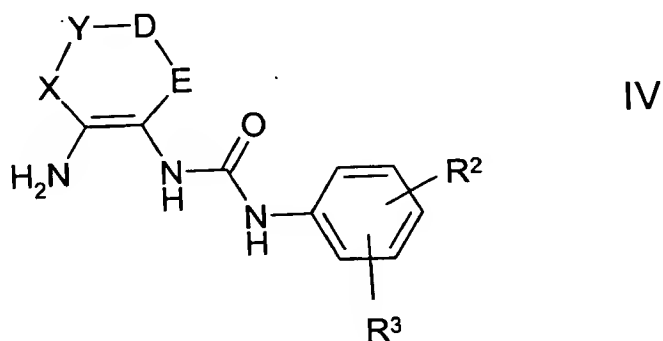
R² and R³ have the meanings indicated in Claim 1,

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or

b) a compound of the formula IV

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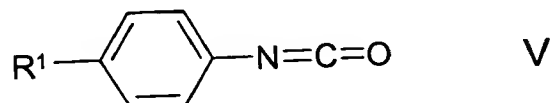


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in which X-Y-D-E, R² and R³ have the meanings indicated in Claim 1,

is reacted with a compound of the formula V

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in which R¹ has the meaning indicated in Claim 1,

or

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c) a radical X-Y-D-E is converted into another radical X-Y-D-E by oxidising the radical X-Y-D-E, and/or a base or acid of the formula I is converted into one of its salts.

20

11. Compounds of the formula I according to one or more of Claims 1 to 9 as inhibitors of coagulation factor Xa.

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12. Compounds of the formula I according to one or more of Claims 1 to 9 as inhibitors of coagulation factor VIIa.

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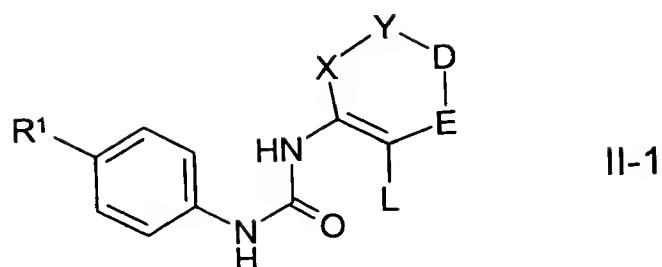
13. Medicaments comprising at least one compound of the formula I according to one or more of Claims 1 to 9 and/or pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios, and optionally excipients and/or adjuvants.

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14. Medicaments comprising at least one compound of the formula I according to one or more of Claims 1 to 9 and/or pharmaceutically

usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios, and at least one further medicament active ingredient.

- 5
15. Use of compounds according to one or more of Claims 1 to 9 and/or physiologically acceptable salts and solvates thereof for the preparation of a medicament for the treatment of thromboses, myocardial infarction, arteriosclerosis, inflammation, apoplexy, angina pectoris, restenosis after angioplasty, claudicatio intermittens, migraine, tumours, tumour diseases and/or tumour metastases.
- 10
16. Set (kit) consisting of separate packs of
- 15
- (a) an effective amount of a compound of the formula I according to one or more of Claims 1 to 9 and/or pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios,
- 20
- and
- (b) an effective amount of a further medicament active ingredient.
- 25
17. Use of compounds of the formula I according to one or more of Claims 1 to 9 and/or pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios, for the preparation of a medicament for the treatment of thromboses, myocardial infarction, arteriosclerosis, inflammation, apoplexy, angina pectoris, restenosis after angioplasty, claudicatio intermittens, migraine, tumours, tumour diseases and/or tumour metastases, in combination with at least one further medicament active ingredient.
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18. Intermediate compounds of the formula II-1
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in which

10 X-Y-D-E denotes CH=CH-CH=CH, N=CH-CH=CH, CH=N-CH=CH, CH=CH-N=CH, CH=CH-CH=N, N=CH-N=CH, CH=N-CH=N, NH-CO-CH=CH, CH=CH-CO-NH, CO-NH-CH=CH, CH=CH-NH-CO, in which the H atoms of the -CH- groups may be substituted by Hal, A, OH, OA, A-COO-, Ph-(CH₂)_n-COO-, cycloalkyl-(CH₂)_n-COO-, A-CONH-, A-CONA-, Ph-CONA-, N₃, NH₂, NO₂, CN, COOH, COOA, CONH₂, CONHA, CON(A)₂, O-allyl, O-propargyl and/or O-benzyl,

20 Ph denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by A, OA, OH or Hal,

R¹ denotes Hal, -C≡C-H, -C≡C-A, OH or OA,

25 A denotes unbranched, branched or cyclic alkyl having 1-10 C atoms, in which, in addition, 1-7 H atoms may be replaced by F and/or chlorine,

Hal denotes F, Cl, Br or I,

n denotes 0, 1, 2 or 3,

30 and salts thereof.

19. Intermediate compounds according to Claim 18
in which

5 X-Y-D-E denotes CH=CH-CH=CH, N=CH-CH=CH,
CH=N-CH=CH, CH=CH-N=CH, CH=CH-CH=N,
N=CH-N=CH, CH=N-CH=N,
in which the H atoms of the -CH- groups may be substi-
tuted by Hal, OH and/or OA,

10 R¹ denotes Hal,
A denotes unbranched, branched or cyclic alkyl having
1-10 C atoms, in which, in addition, 1-7 H atoms may
be replaced by F and/or chlorine,

15 Hal denotes F, Cl, Br or I,
and salts thereof.

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